

## CLAIMS

1. An inhibitor of human neutrophil elastase which is selected from the group consisting of EpiNE $\alpha$ , EpiNE1, EpiNE2, EpiNE3, EpiNE4, EpiNE5, EpiNE6, EpiNE7, EpiNE8.

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2. An inhibitor of human cathepsin G which is selected from the group consisting of EpiC1, EpiC7, EpiC8, EpiC10, EpiC20, EpiC31, EpiC32, EpiC33, EpiC34, and EpiC35.

10 3. An inhibitor of human neutrophil elastase which is selected from the group consisting of ITI-E7, BITI-E7, BITI-E7-1222, AMINO1, AMINO2, MUTP1, BITI-E7-141, MUTT26A, MUTQE, and MUT1619 in Table 220.

15 4. A homologous inhibitor of a reference inhibitor according to claims 1-3, said homologous inhibitor differing from said reference inhibitor by one or more substitutions of class A according to Table 65.

20 5. A homologous inhibitor of a reference inhibitor according to claims 1-3, said homologous inhibitor differing from said reference inhibitor by one or more substitutions of class A or B according to Table 65.

25 6. A homologous inhibitor of a reference inhibitor according to claims 1-3, said homologous inhibitor differing from said reference inhibitor by one or more substitutions of class A, B or C according to Table 65.

30 7. An inhibitor of human neutrophil elastase which is a compound having the formula of Figure 8, wherein

R<sub>1</sub> is hydrogen, L-prolyl, L,L cystinyl (*i.e.* NH<sub>2</sub>-CH(CH<sub>2</sub>-S-S-CH<sub>2</sub>-CH(NH<sub>2</sub>)-COOH)-CO-), L-valyl, other amino acids, or a carboxylic acid derivative having 2-8 carbons,

$R_2$  is 2-propyl or secondary butyl,

$X$  is  $-\text{CO}-\text{CH}_2-$ ,  $-\text{CO}-\text{CFH}-$ ,  $-\text{CO}-\text{CFH}-\text{CH}_2-$ ,  $-\text{CO}-\text{CF}_2-$ ,  $-\text{CO}-\text{CF}_2-\text{CH}_2-$ ,  $-\text{B}(\text{OH})-\text{CH}_2-$ ,  $-\text{B}(\text{OR}_7)-\text{CH}_2-$ ,  $-\text{SO}-\text{CH}_2-$ ,  $-\text{CO}-\text{S}$ , or  $-\text{CO}-\text{CO}-$ ,

$R_3$  is  $-\text{H}$ ,  $-\text{CH}_3$ ,  $-\text{CH}_2-\text{COOH}$ , or  $-\text{CH}_2-\text{CH}_2-\text{COOH}$ ,

5  $R_4$  is  $-\text{CH}_2$ -phenyl or  $-\text{CH}_2-\text{CH}_2-\text{S}-\text{CH}_3$ ,

$R_5$  is  $-\text{CH}_2$ -phenyl or other arylmethyl group,

$R_6$  is  $-\text{NH}_2$ ,  $-\text{OH}$ , or an additional N-linked amino acid, and

$R_7$  is a small alkyl group.

10 8. An inhibitor of human neutrophil elastase which is a compound having the formula of Figure 9, wherein

$R_1$  is a relatively rigid bifunctional linker,

$R_2$  is 2-propyl or secondary butyl,

$X$  is  $-\text{CO}-\text{CH}_2-$ ,  $-\text{CO}-\text{CFH}-$ ,  $-\text{CO}-\text{CFH}-\text{CH}_2-$ ,  $-\text{CO}-\text{CF}_2-$ ,  $-\text{CO}-\text{CF}_2-$

15  $\text{CH}_2-$ ,  $-\text{B}(\text{OH})-\text{CH}_2-$ ,  $-\text{B}(\text{OR}_7)-\text{CH}_2-$ ,  $-\text{SO}-\text{CH}_2-$ ,  $-\text{CO}-\text{S}$ , or  $-\text{CO}-\text{CO}-$ ,

$R_3$  is  $-\text{H}$ ,  $-\text{CH}_3$ ,  $-\text{CH}_2-\text{COOH}$ , or  $-\text{CH}_2-\text{CH}_2-\text{COOH}$ ,

$R_4$  is  $-\text{CH}_2$ -phenyl or  $-\text{CH}_2-\text{CH}_2-\text{S}-\text{CH}_3$ ,

$R_5$  is  $-\text{CH}_2$ -phenyl or other arylmethyl group,

20  $R_6$  is  $-\text{NH}_2$ ,  $-\text{OH}$ , or an additional N-linked amino acid, and

$R_7$  is a small alkyl group.

9. The inhibitor of claim 8 wherein  $R_1$  is a tricyclic aromatic ring system having diametrically opposed functionalities  
25 one of which allows linkage to the amino group attached to  $C_7$  and another that allows linkage to the carbonyl carbon labeled  $C_{11}$ .

10. The inhibitor of claim 9 wherein  $R_1$  is 2-carboxymethyl-6-aminomethyl anthraquinone.

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11. An inhibitor of human neutrophil elastase which is a compound having the formula of Figure 12, wherein

$D_1$  and  $D_2$  are, independently, a hydroxyl group or a group that is capable of being hydrolyzed in aqueous solution to a hydroxyl

group at physiological conditions,

$R_3$  is  $-H$ ,  $-CH_3$ ,  $-CH_2-COOH$ , or  $-CH_2-CH_2-COOH$ ,

$R_4$  is  $-CH_2$ -phenyl or  $-CH_2-CH_2-S-CH_3$ ,

$R_5$  is  $-CH_2$ -phenyl or other arylmethyl group,

5  $R_6$  is  $-NH_2$ ,  $-OH$ , or an additional N-linked amino acid.

12. Use of an inhibitor according to any of claims 1-11 in the manufacture of a composition for the treatment or prophylaxis of a condition of the body caused by excessive neutrophil  
10 elastase activity.

13. Use of an inhibitor according to any of claims 1-11 in the manufacture of a composition for the treatment or prophylaxis of a condition of the body caused by excessive cathepsin G  
15 activity.

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